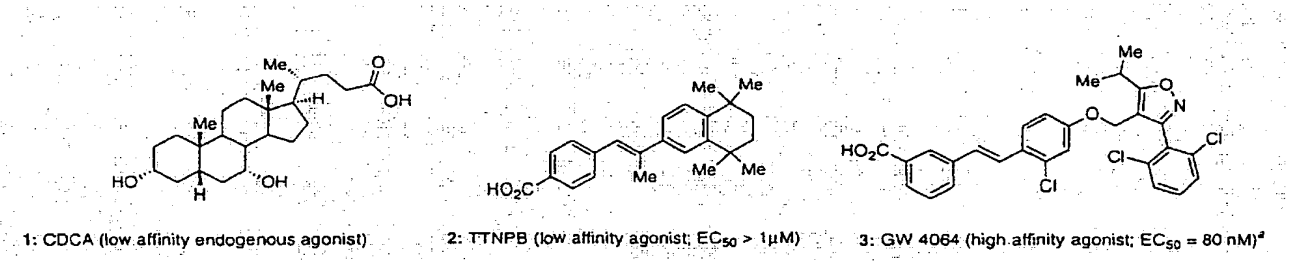


1: CDCA (low affinity endogenous agonist) 2: TTNPB (low affinity agonist; EC_{50} > 1μM) 3: GW 4064 (high affinity agonist; EC_{50} = 80 nM)[a]

FIGURE 1

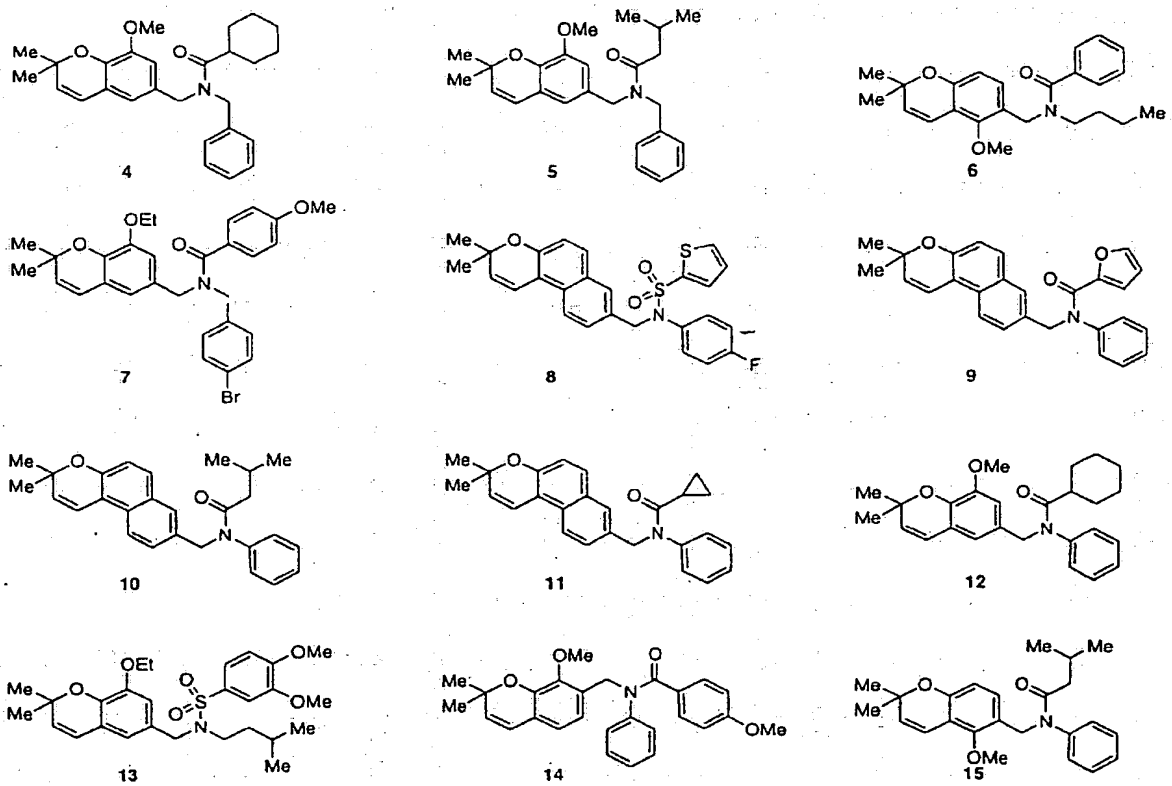


FIGURE 2A

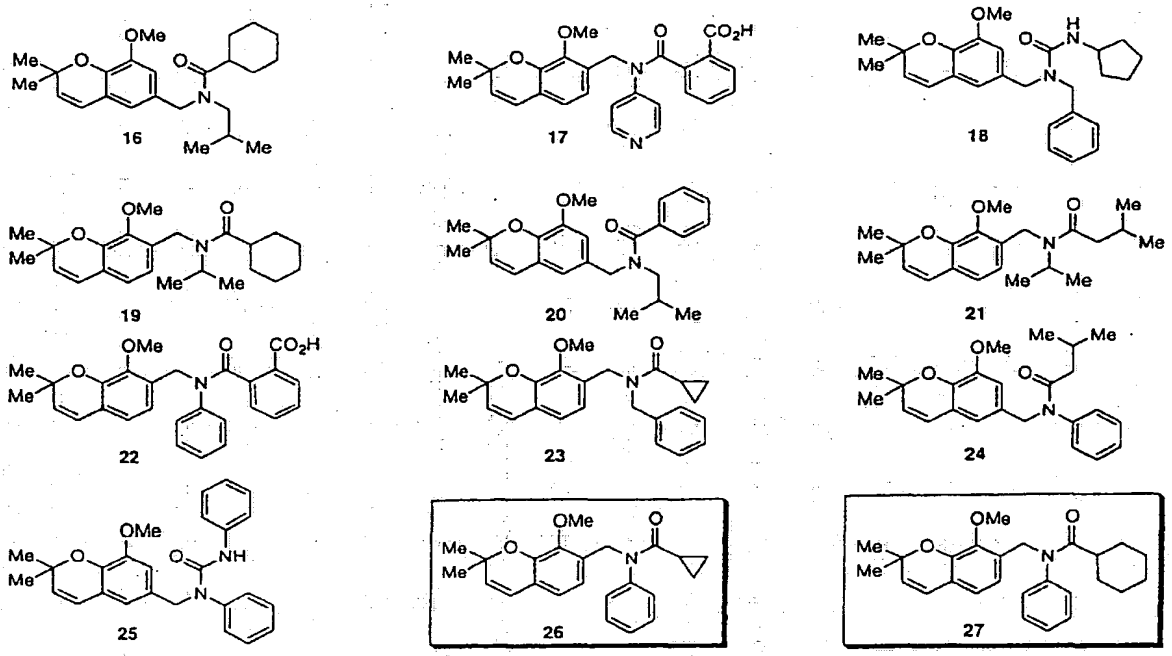


FIGURE 2B

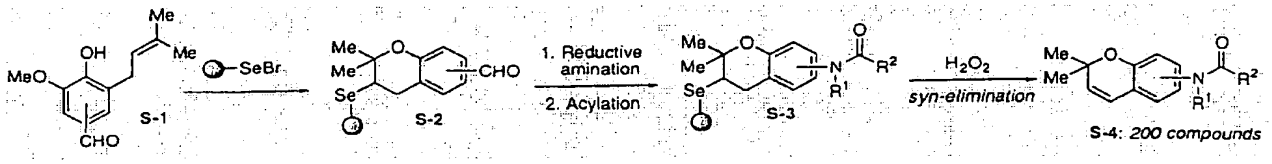


FIGURE 3A

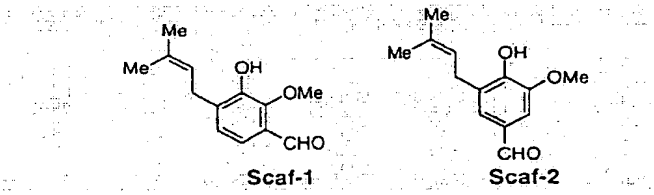


FIGURE 3B

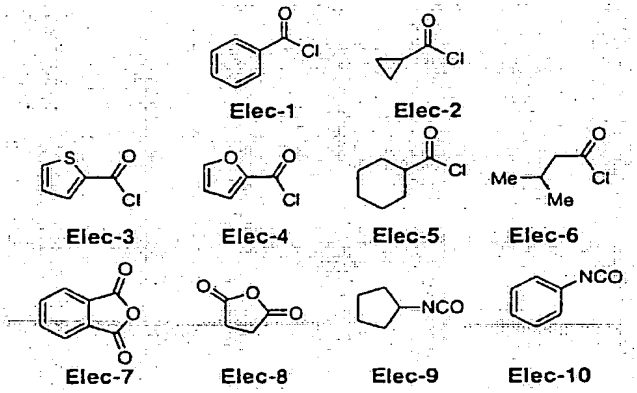


FIGURE 3C

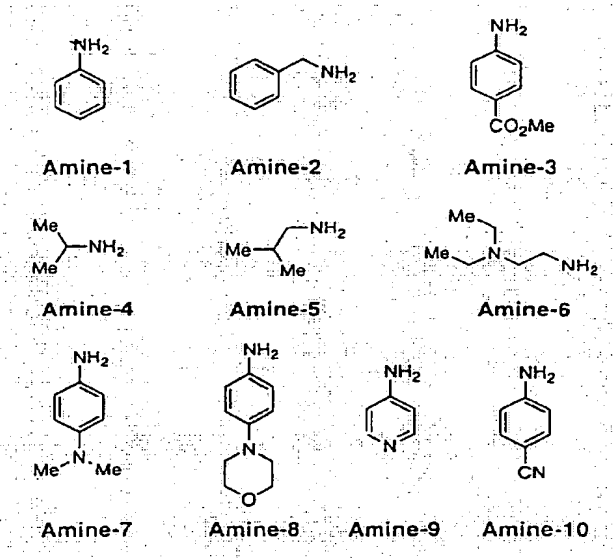


FIGURE 3D

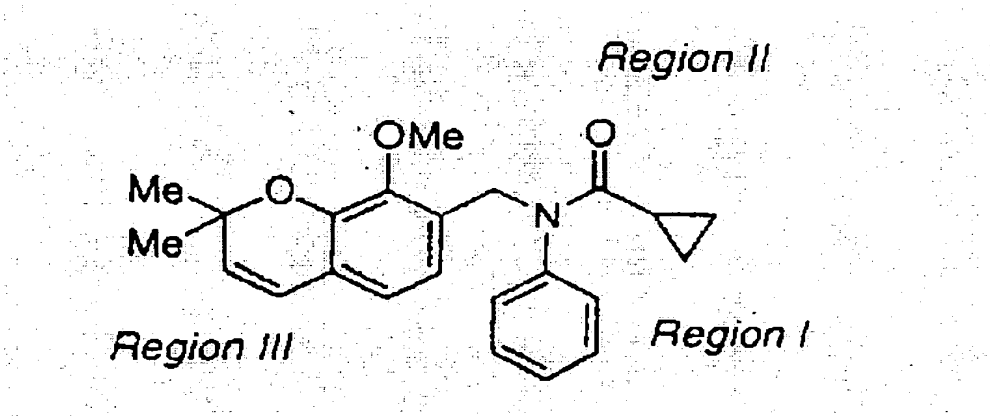


FIGURE 4

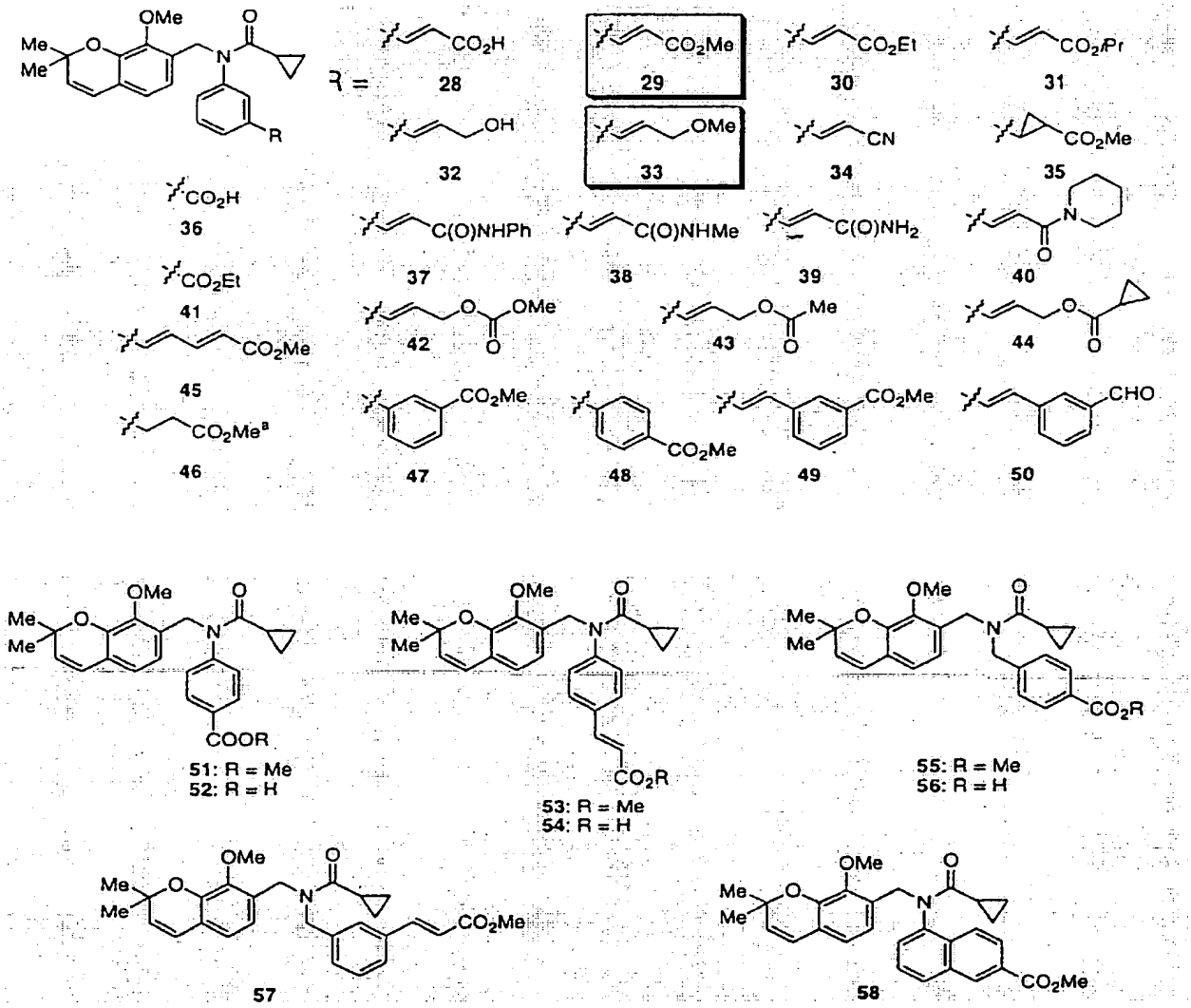

R =
28
29
30
31
32
33
34
35
36
37
38
39
40
41
42
43
44
45
46
47
48
49
50
51: R = Me
52: R = H
53: R = Me
54: R = H
55: R = Me
56: R = H
57
58

FIGURE 5

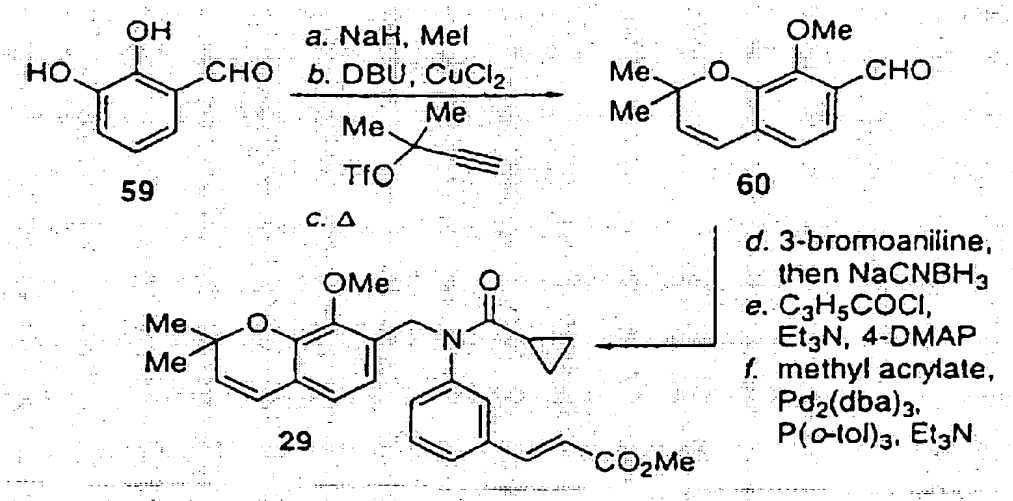

OH
HO
CHO
59
a. NaH, MeI
b. DBU, CuCl2
Me
Me
TfO
c. Δ
OMe
Me
Me
O
CHO
60
d. 3-bromoaniline, then NaCNBH3
e. C3H5COCl, Et3N, 4-DMAP
f. methyl acrylate, Pd2(dba)3, P(o-tol)3, Et3N
OMe
O
Me
Me
O
N
29
CO2Me

FIGURE 6

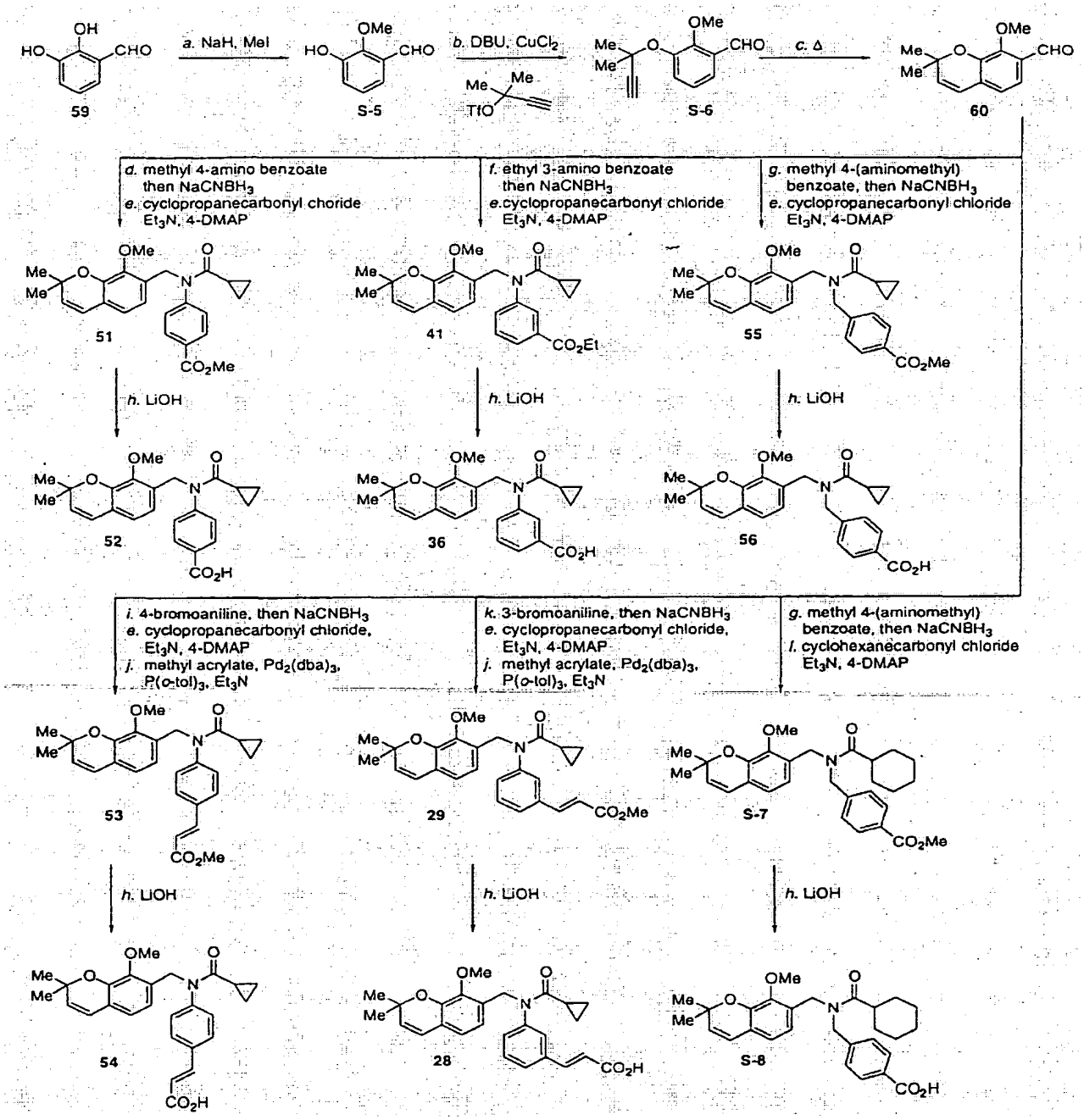

59
a. NaH, MeI
S-5
b. DBU, CuCl2
S-6
c. Δ
60
d. methyl 4-amino benzoate then NaCNBH3
e. cyclopropanecarbonyl choride Et3N, 4-DMAP
f. ethyl 3-amino benzoate then NaCNBH3
e.cyclopropanecarbonyl chloride Et3N, 4-DMAP
g. methyl 4-(aminomethyl) benzoate, then NaCNBH3
e. cyclopropanecarbonyl chloride Et3N, 4-DMAP
51
41
55
h. LiOH
52
36
56
i. 4-bromoaniline, then NaCNBH3
e. cyclopropanecarbonyl chloride, Et3N, 4-DMAP
j. methyl acrylate, Pd2(dba)3, P(o-tol)3, Et3N
k. 3-bromoaniline, then NaCNBH3
e. cyclopropanecarbonyl chloride, Et3N, 4-DMAP
j. methyl acrylate, Pd2(dba)3, P(o-tol)3, Et3N
g. methyl 4-(aminomethyl) benzoate, then NaCNBH3
l. cyclohexanecarbonyl chloride Et3N, 4-DMAP
53
29
S-7
54
28
S-8

FIGURE 7

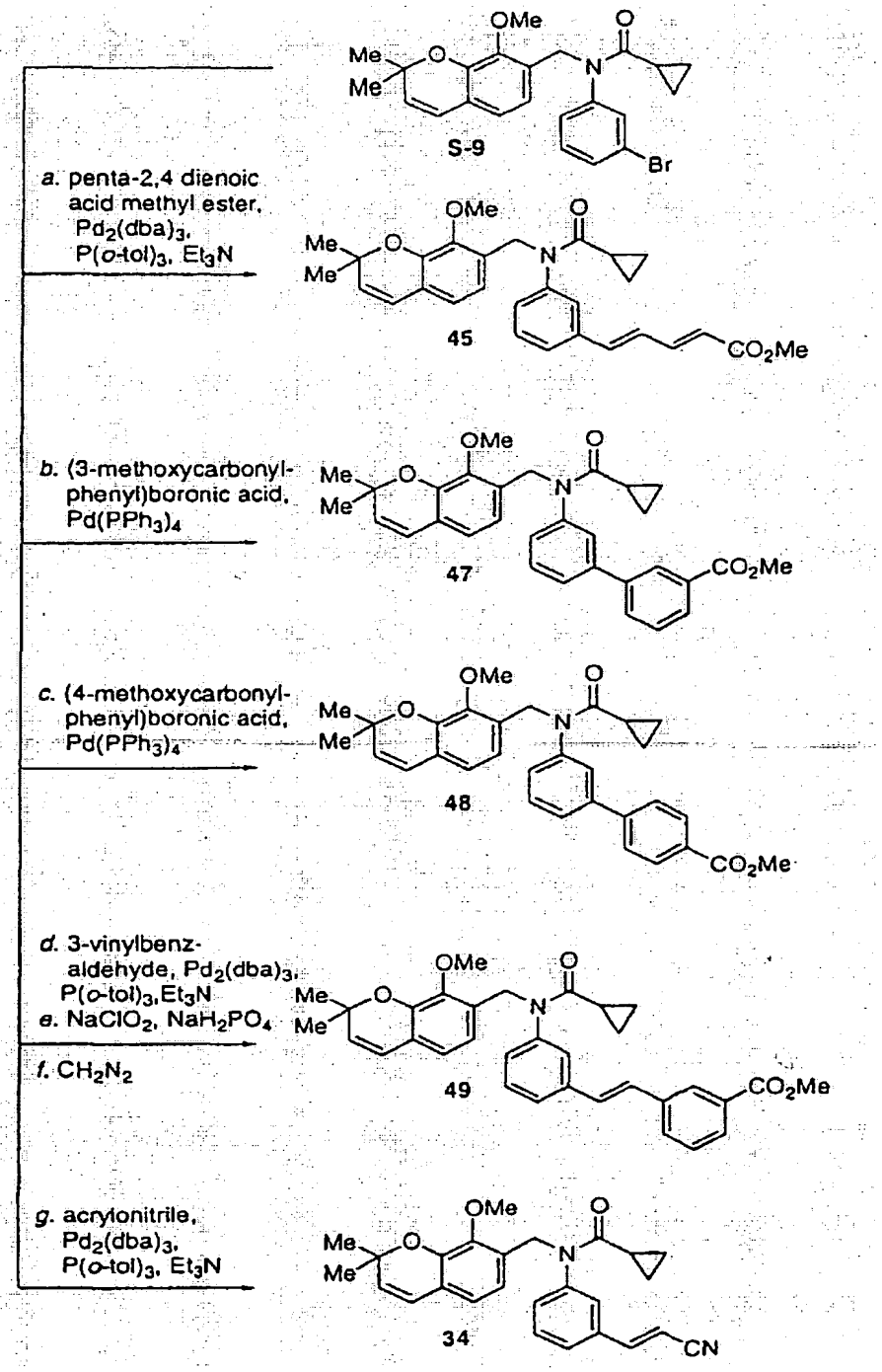

S-9
a. penta-2,4 dienoic acid methyl ester, $Pd_2(dba)_3$, $P(o\text{-tol})_3$, Et_3N
45
b. (3-methoxycarbonyl-phenyl)boronic acid, $Pd(PPh_3)_4$
47
c. (4-methoxycarbonyl-phenyl)boronic acid, $Pd(PPh_3)_4$
48
d. 3-vinylbenz-aldehyde, $Pd_2(dba)_3$, $P(o\text{-tol})_3$, Et_3N
e. $NaClO_2$, NaH_2PO_4
f. CH_2N_2
49
g. acrylonitrile, $Pd_2(dba)_3$, $P(o\text{-tol})_3$, Et_3N
34

FIGURE 8

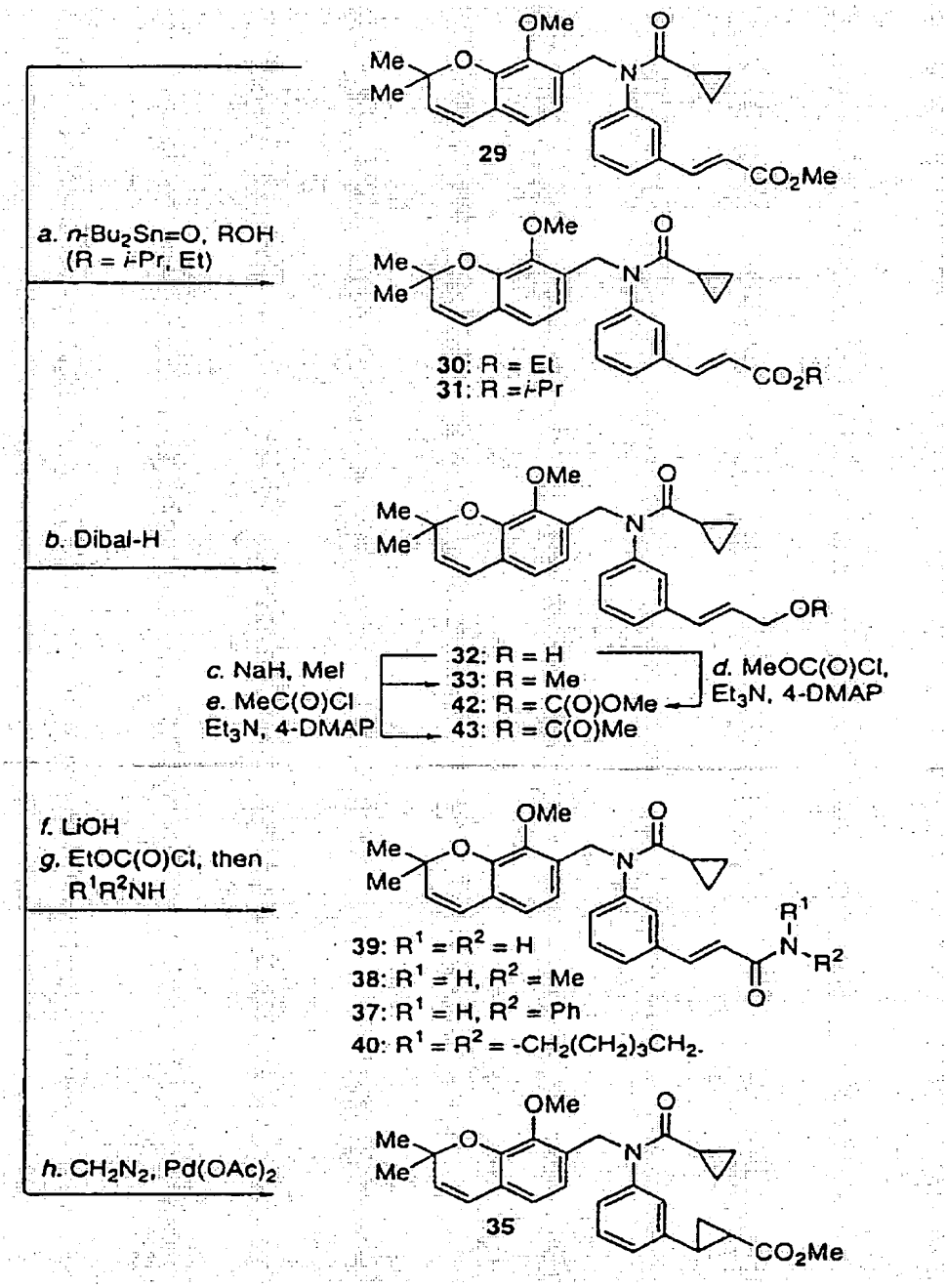

OMe
Me
Me
O
N
O
29
CO2Me
a. n-Bu2Sn=O, ROH
(R = i-Pr, Et)
30: R = Et
31: R = i-Pr
CO2R
b. Dibal-H
OR
c. NaH, MeI
e. MeC(O)Cl
Et3N, 4-DMAP
32: R = H
33: R = Me
42: R = C(O)OMe
43: R = C(O)Me
d. MeOC(O)Cl,
Et3N, 4-DMAP
f. LiOH
g. EtOC(O)Cl, then
R1R2NH
39: R1 = R2 = H
38: R1 = H, R2 = Me
37: R1 = H, R2 = Ph
40: R1 = R2 = -CH2(CH2)3CH2-
h. CH2N2, Pd(OAc)2
35
CO2Me

FIGURE 9

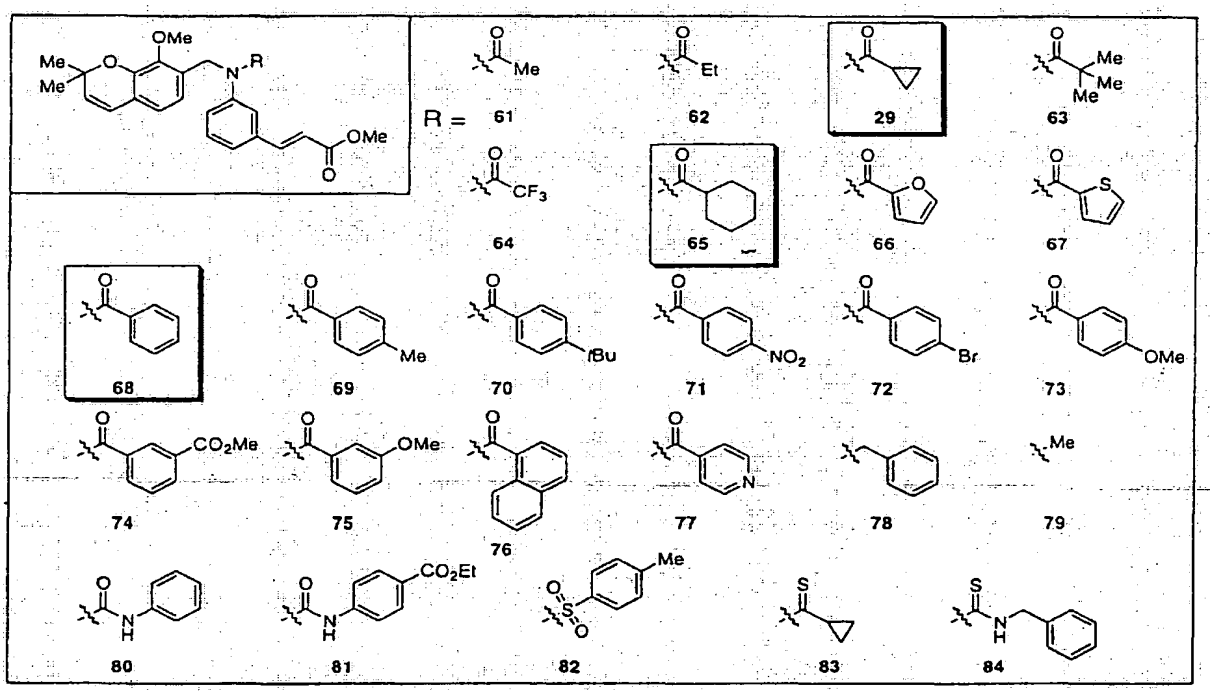

R =
61
62
29
63
64
65
66
67
68
69
70
71
72
73
74
75
76
77
78
79
80
81
82
83
84

FIGURE 10

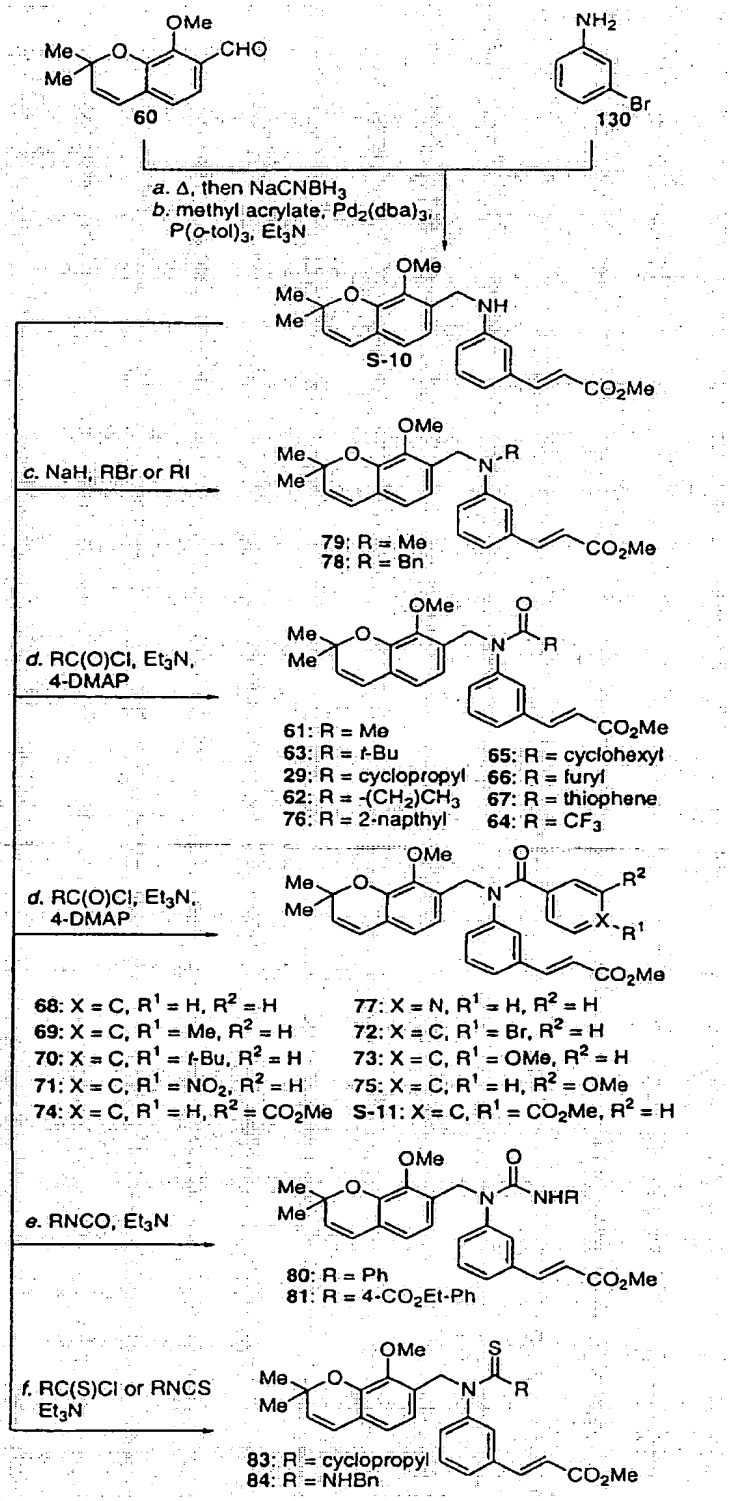

60
130
a. Δ, then NaCNBH3
b. methyl acrylate, Pd2(dba)3, P(o-tol)3, Et3N
S-10
c. NaH, RBr or RI
79: R = Me
78: R = Bn
d. RC(O)Cl, Et3N, 4-DMAP
61: R = Me
63: R = t-Bu
29: R = cyclopropyl
62: R = -(CH2)CH3
76: R = 2-napthyl
65: R = cyclohexyl
66: R = furyl
67: R = thiophene
64: R = CF3
d. RC(O)Cl, Et3N, 4-DMAP
68: X = C, R1 = H, R2 = H
69: X = C, R1 = Me, R2 = H
70: X = C, R1 = t-Bu, R2 = H
71: X = C, R1 = NO2, R2 = H
74: X = C, R1 = H, R2 = CO2Me
77: X = N, R1 = H, R2 = H
72: X = C, R1 = Br, R2 = H
73: X = C, R1 = OMe, R2 = H
75: X = C, R1 = H, R2 = OMe
S-11: X = C, R1 = CO2Me, R2 = H
e. RNCO, Et3N
80: R = Ph
81: R = 4-CO2Et-Ph
f. RC(S)Cl or RNCS Et3N
83: R = cyclopropyl
84: R = NHBn

FIGURE 11

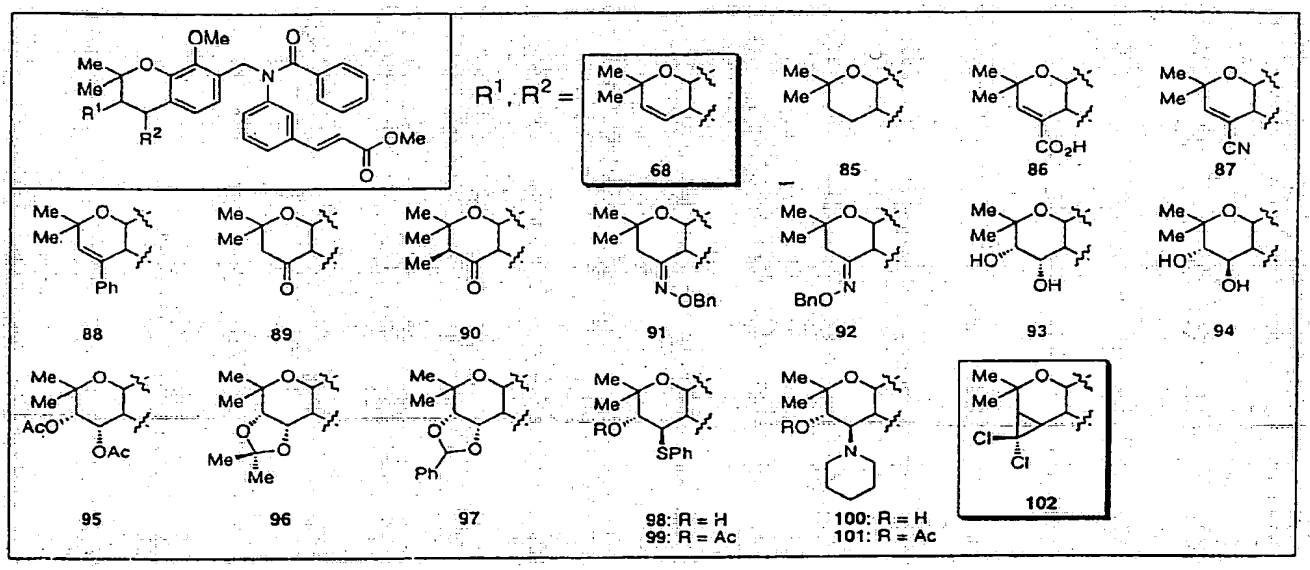

R1, R2 =
68
85
86
87
88
89
90
91
92
93
94
95
96
97
98: R = H
99: R = Ac
100: R = H
101: R = Ac
102

FIGURE 12

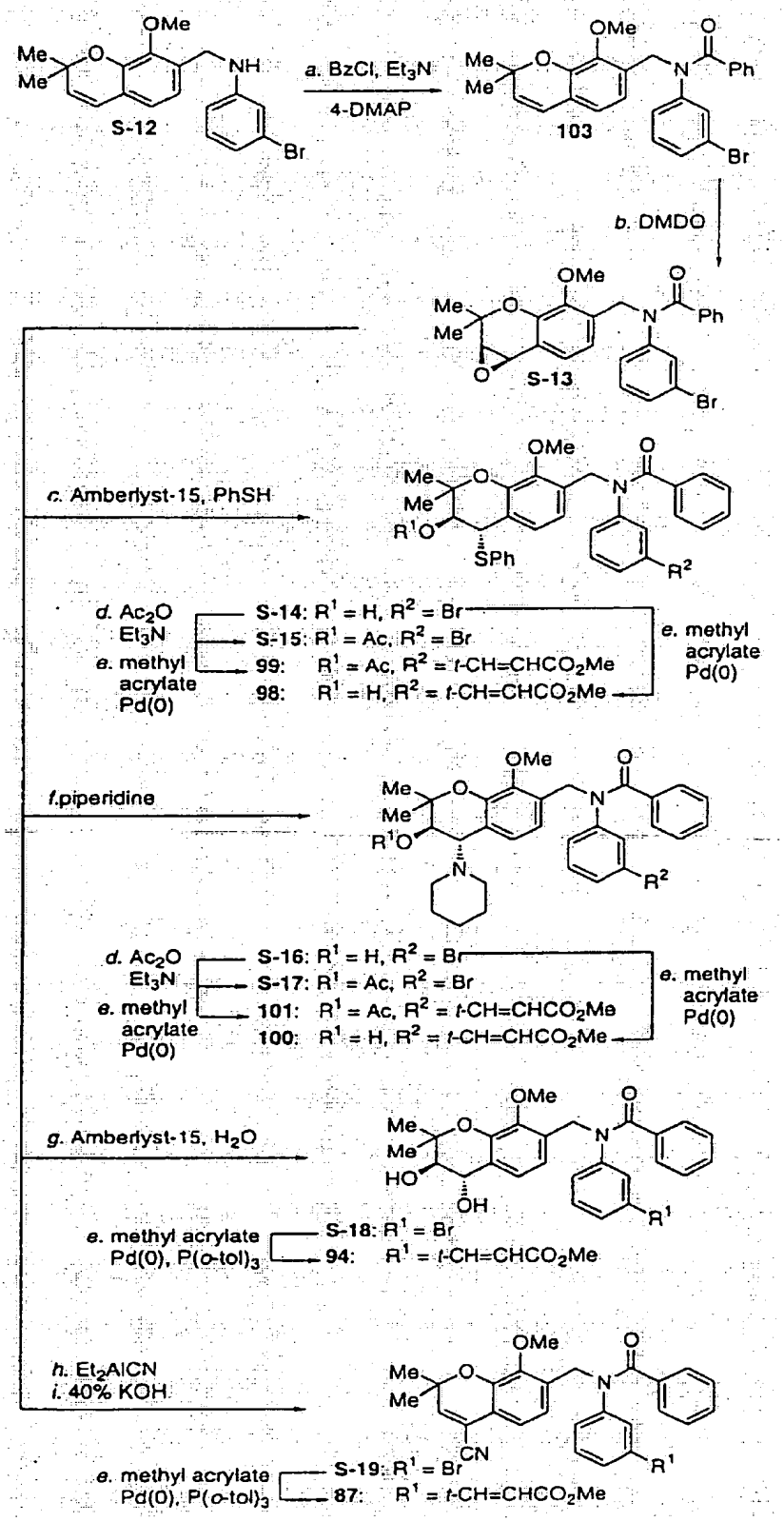

S-12
a. BzCl, Et3N
4-DMAP
103
b. DMDO
S-13
c. Amberlyst-15, PhSH
d. Ac2O
Et3N
e. methyl acrylate
Pd(0)
S-14: R1 = H, R2 = Br
S-15: R1 = Ac, R2 = Br
99: R1 = Ac, R2 = t-CH=CHCO2Me
98: R1 = H, R2 = t-CH=CHCO2Me
f.piperidine
S-16: R1 = H, R2 = Br
S-17: R1 = Ac, R2 = Br
101: R1 = Ac, R2 = t-CH=CHCO2Me
100: R1 = H, R2 = t-CH=CHCO2Me
g. Amberlyst-15, H2O
e. methyl acrylate
Pd(0), P(o-tol)3
S-18: R1 = Br
94: R1 = t-CH=CHCO2Me
h. Et2AlCN
i. 40% KOH
S-19: R1 = Br
87: R1 = t-CH=CHCO2Me

FIGURE 13

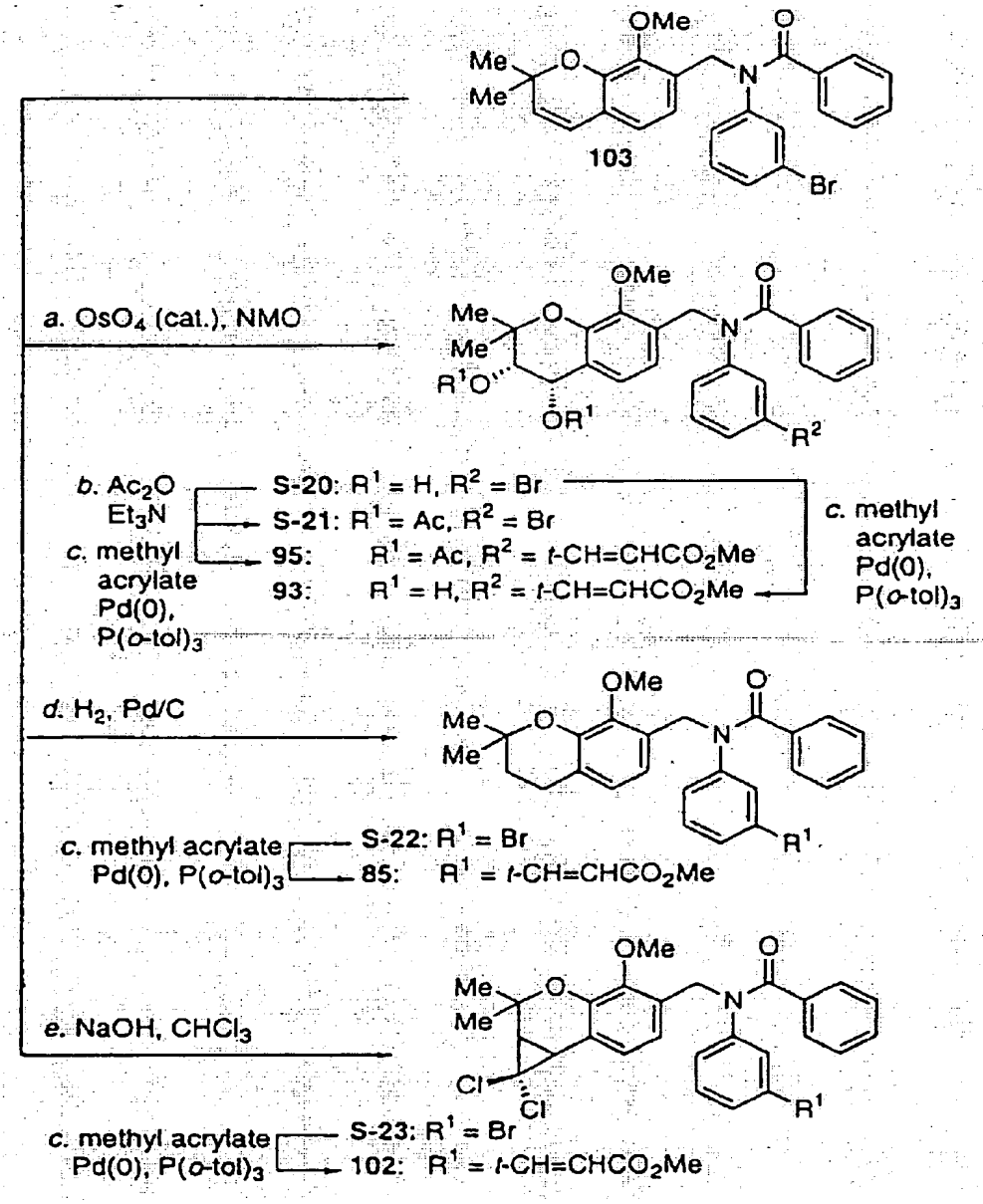

OMe
Me
Me
O
N
O
103
Br
a. OsO4 (cat.), NMO
R1O
OR1
R2
b. Ac2O
Et3N
c. methyl acrylate
Pd(0),
P(o-tol)3
S-20: R1 = H, R2 = Br
S-21: R1 = Ac, R2 = Br
95: R1 = Ac, R2 = t-CH=CHCO2Me
93: R1 = H, R2 = t-CH=CHCO2Me
c. methyl acrylate
Pd(0),
P(o-tol)3
d. H2, Pd/C
R1
c. methyl acrylate
Pd(0), P(o-tol)3
S-22: R1 = Br
85: R1 = t-CH=CHCO2Me
e. NaOH, CHCl3
Cl
Cl
R1
c. methyl acrylate
Pd(0), P(o-tol)3
S-23: R1 = Br
102: R1 = t-CH=CHCO2Me

FIGURE 14

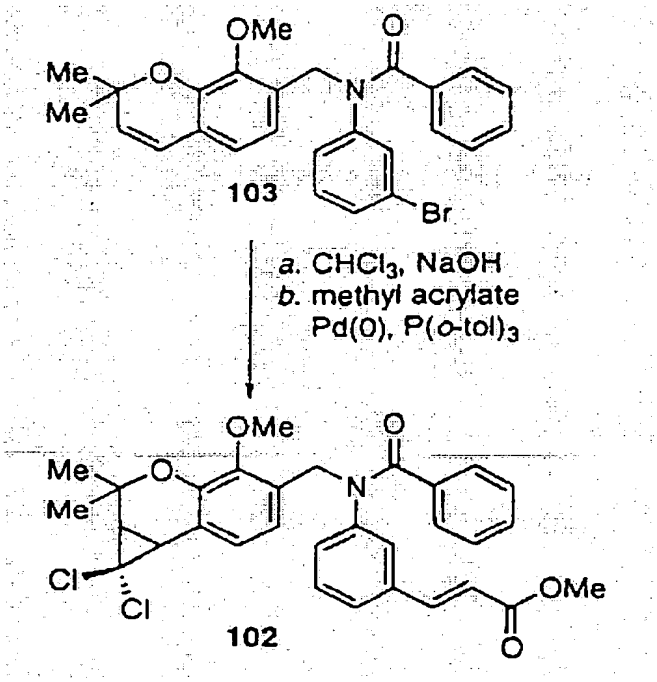

OMe
O
Me
Me
O
N
103
Br
a. CHCl3, NaOH
b. methyl acrylate
Pd(0), P(o-tol)3
OMe
O
Me
Me
O
N
Cl
Cl
OMe
102
O

FIGURE 15

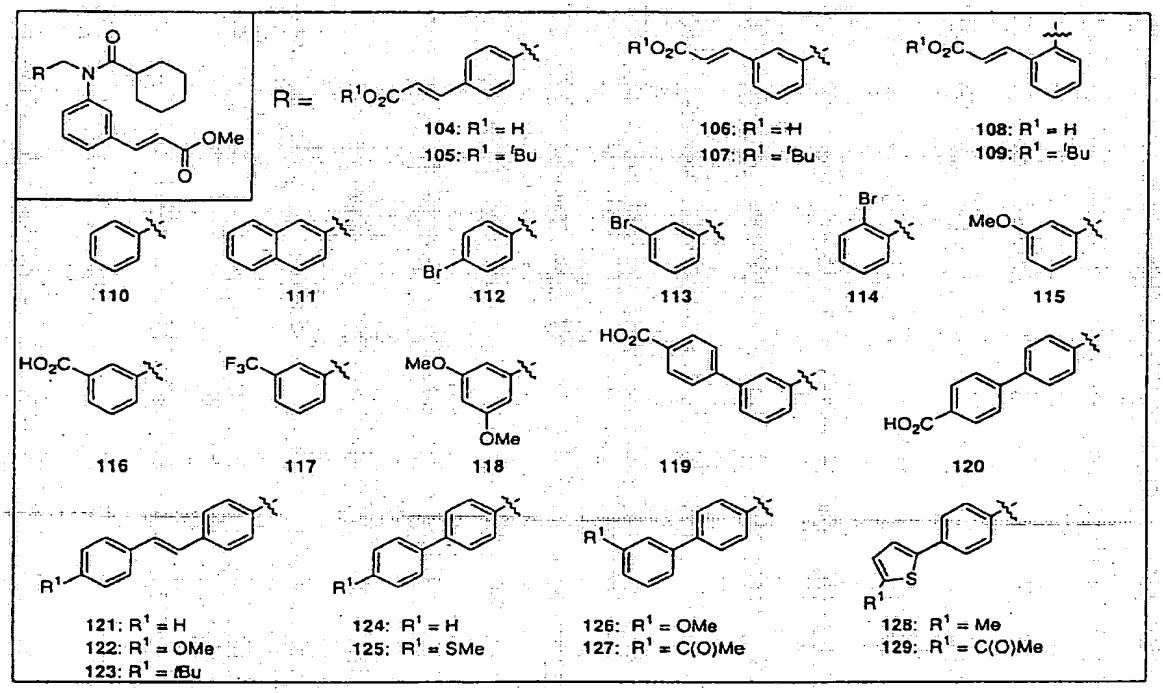

R =
104: R1 = H
105: R1 = tBu
106: R1 = H
107: R1 = tBu
108: R1 = H
109: R1 = tBu
110
111
112
113
114
115
116
117
118
119
120
121: R1 = H
122: R1 = OMe
123: R1 = tBu
124: R1 = H
125: R1 = SMe
126: R1 = OMe
127: R1 = C(O)Me
128: R1 = Me
129: R1 = C(O)Me

FIGURE 16

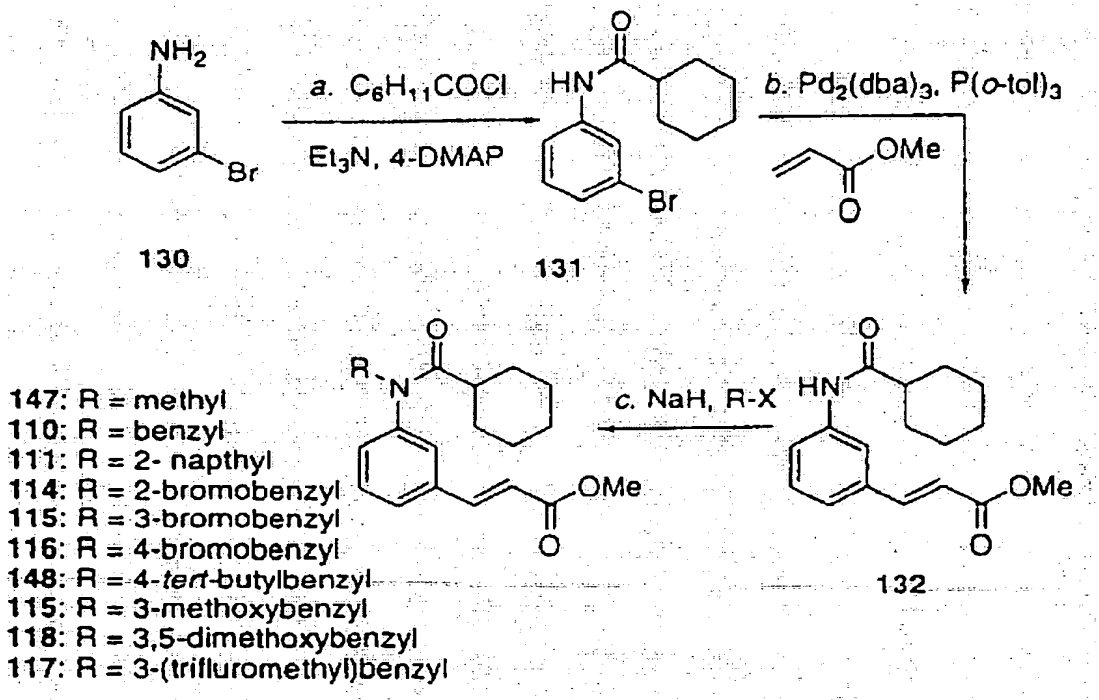

NH2
Br
130
a. C6H11COCl
Et3N, 4-DMAP
HN
O
Br
131
b. Pd2(dba)3, P(o-tol)3
OMe
O
c. NaH, R-X
R
N
HN
OMe
O
132
147: R = methyl
110: R = benzyl
111: R = 2- napthyl
114: R = 2-bromobenzyl
115: R = 3-bromobenzyl
116: R = 4-bromobenzyl
148: R = 4-tert-butylbenzyl
115: R = 3-methoxybenzyl
118: R = 3,5-dimethoxybenzyl
117: R = 3-(trifluromethyl)benzyl

FIGURE 17

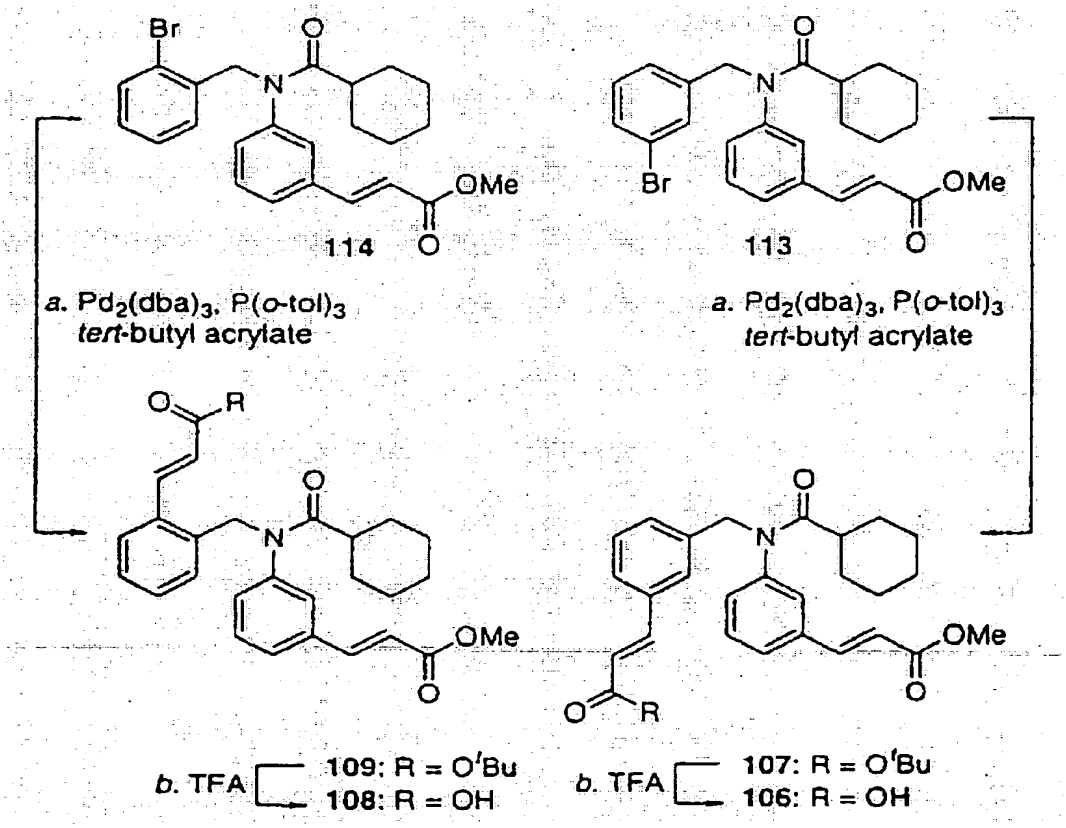
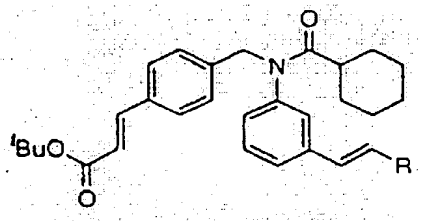
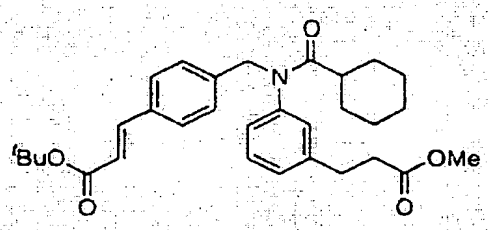

Br
N
O
OMe
O
114
a. $Pd_2(dba)_3$, P(o-tol)$_3$
tert-butyl acrylate
O
R
b. TFA
109: R = O'Bu
108: R = OH
113
107: R = O'Bu
106: R = OH

FIGURE 18

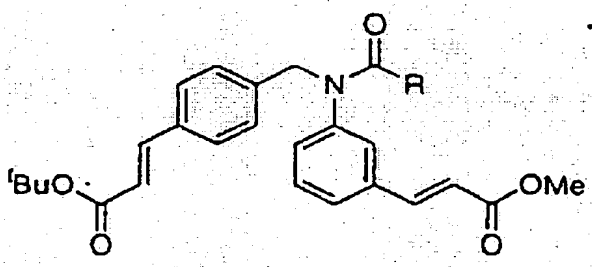


	R	EC_{50} (nM)	RE[a]
105	COOMe	127	2.12
133	COOEt	256	2.07
134	COO^{t}Bu	>1000	1.06
135	$CONH_2$	>1000	0.50
136	CH_2OMe	243	1.68
137	CH_2OEt	220	1.74
138	CH_2OPh	2830	0.45



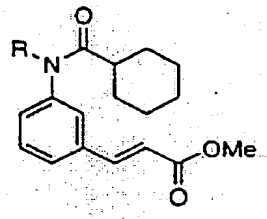
139: EC_{50} = 274 nM
RE[a] = 1.38

FIGURE 19A

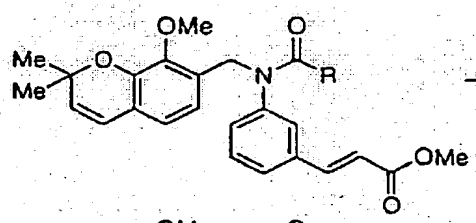


	R	EC_{50} (nM)	RE[a]
140	cyclopropyl	250	1.68
141	cyclobutyl	187	1.84
142	cyclopentyl	162	2.16
105	cyclohexyl	127	2.12
143	phenyl	236	1.96
144	2-furyl	205	1.93
145	isopropylamino	212	1.96
146	benzylamino	>1000	0.27

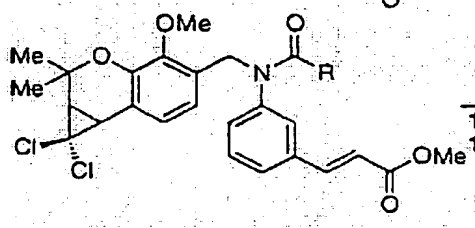
FIGURE 19B



	R	EC_{50} (nM)	RE[a]
132	H	>1000	0.09
147	methyl	>1000	0.09
110	benzyl	>1000	0.09
111	2- napthyl	680	0.41
114	2-bromobenzyl	>1000	0.11
113	3-bromobenzyl	>1000	0.10
112	4-bromobenzyl	>1000	0.28
148	4-*tert*-butylbenzyl	>1000	0.15
115	3-methoxybenzyl	>1000	0.11
118	3,5-dimethoxybenzyl	606	0.11
117	3-(trifluromethyl)benzyl	>1000	0.12

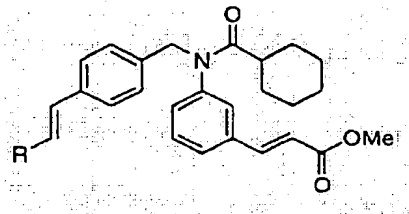


	R	EC_{50} (nM)	RE[a]
68	phenyl	>1000	0.83
65	cyclohexyl	358	0.40

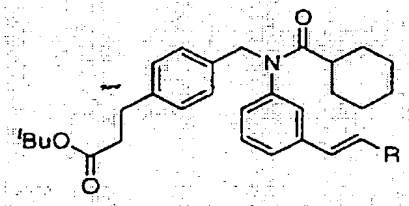


	R	EC_{50} (nM)	RE[a]
102	phenyl	333	0.64
149	cyclohexyl	188	0.50

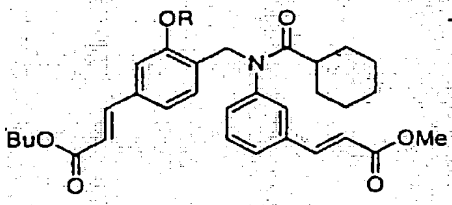
FIGURE 19C



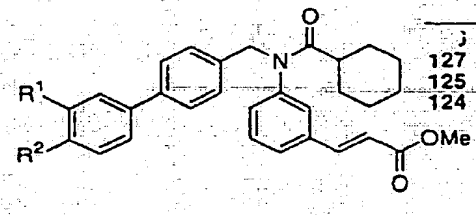
	R	EC_{50} (nM)	RE[a]
104	COOH	>1000	0.08
150	COOMe	>1000	0.87
151	COOEt	>1000	1.14
152	COO^{i}Pr	163	1.97
105	COO^{t}Bu	127	2.12
153	COOBn	>1000	0.23
154	$CONMe_2$	>1000	0.66
155	CONH^{t}Bu	>1000	1.65
156	CH_2OMe	233	1.63
157	CH_2OEt	198	2.06
158	CH_2OPh	>1000	0.64



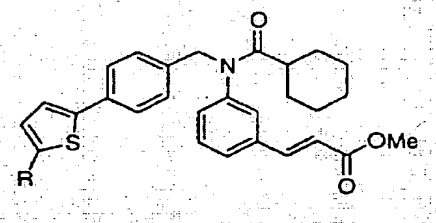
	R	EC_{50} (nM)	RE[a]
159	COOMe	240	1.56
160	COO^{t}Bu	>1000	0.64



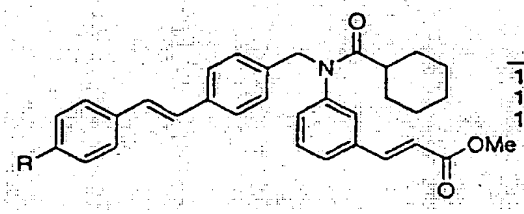
	R	EC_{50} (nM)	RE[a]
161	H	> 1000	0.12
162	Me	> 1000	0.14
163	Bn	> 1000	0.38
164	MeC(O)	> 1000	0.16
165	$C_6H_5C(O)$	> 1000	0.16
166	$MeS(O_2)$	> 1000	0.18
167	$EtOOCCH_2$	> 1000	0.18



	R^1	R^2	EC_{50} (nM)	RE[a]
3	OMe	H	77	1.51
127	C(O)Me	H	227	1.30
125	H	SMe	69	1.74
124	H	H	510	0.71



	R	EC_{50} (nM)	RE[a]
128	Me	206	1.78
129	C(O)Me	256	1.48



	R	EC_{50} (nM)	RE[a]
121	H	36	1.55
122	OMe	208	1.67
123	*t*-Bu	>1000	0.29

FIGURE 19D

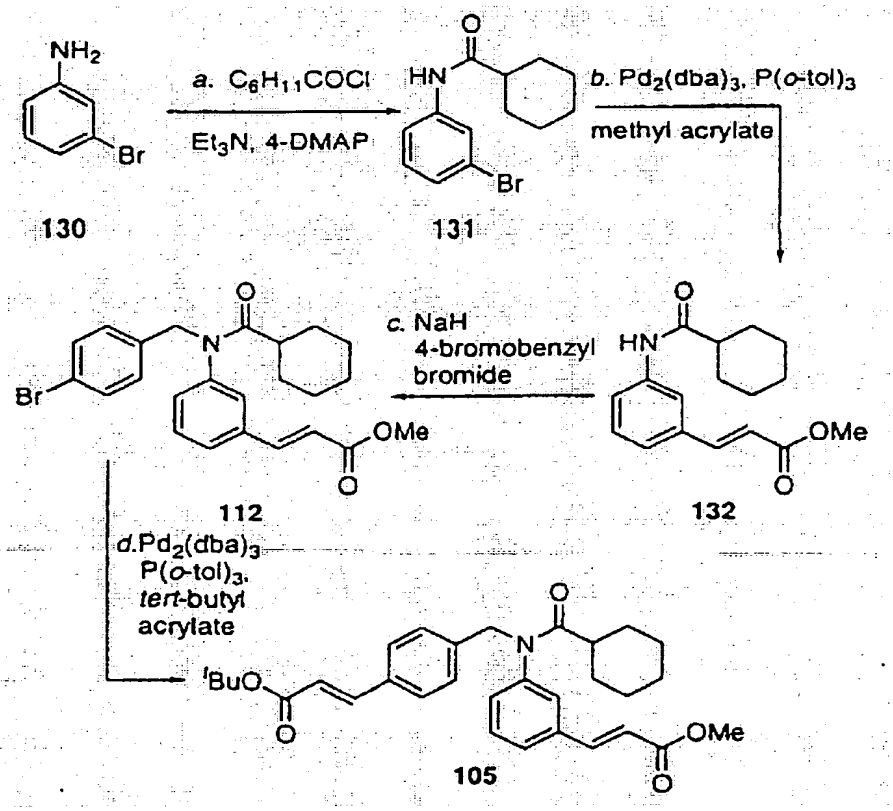

NH2
Br
130
a. C6H11COCl
Et3N, 4-DMAP
HN
O
Br
131
b. Pd2(dba)3, P(o-tol)3
methyl acrylate
c. NaH
4-bromobenzyl bromide
HN
OMe
132
N
Br
OMe
112
d. Pd2(dba)3
P(o-tol)3,
tert-butyl
acrylate
tBuO
N
OMe
105

FIGURE 20

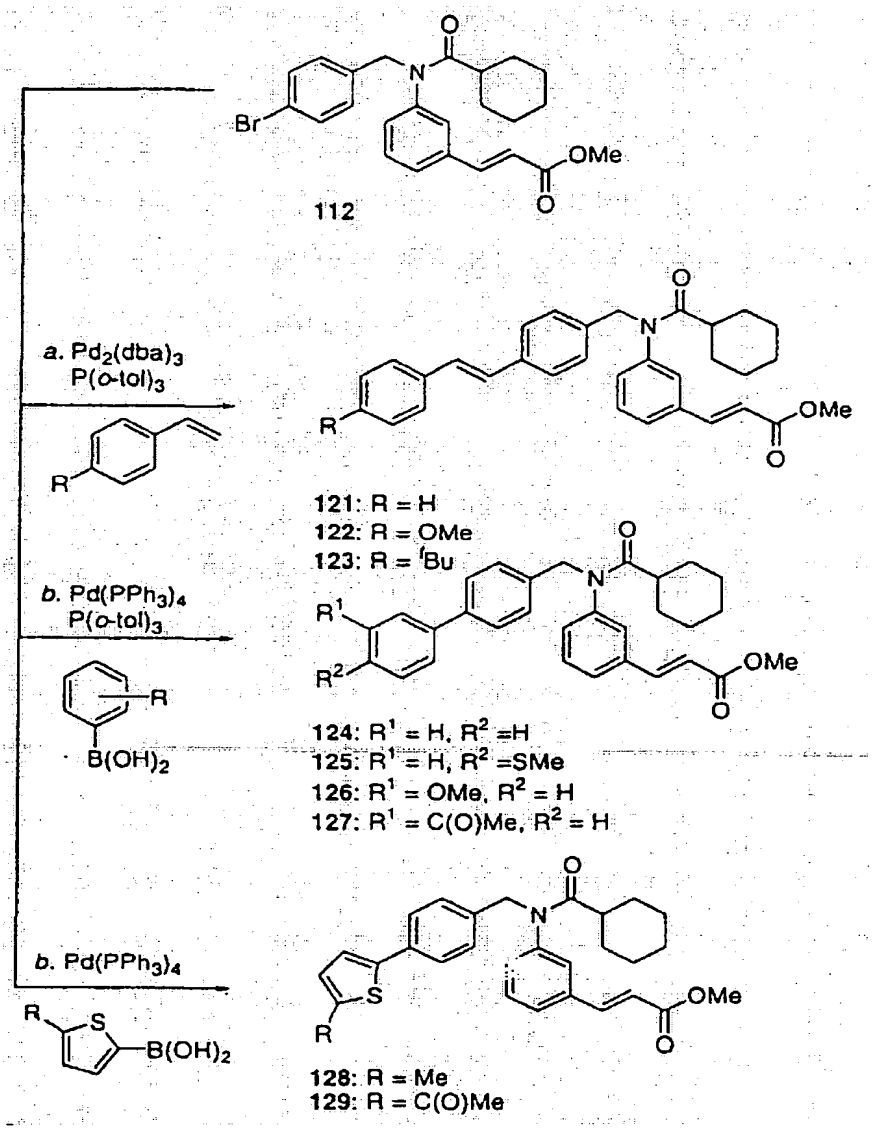

Br
OMe
112
a. Pd2(dba)3
P(o-tol)3
R
121: R = H
122: R = OMe
123: R = tBu
b. Pd(PPh3)4
P(o-tol)3
B(OH)2
124: R1 = H, R2 = H
125: R1 = H, R2 = SMe
126: R1 = OMe, R2 = H
127: R1 = C(O)Me, R2 = H
b. Pd(PPh3)4
S
B(OH)2
128: R = Me
129: R = C(O)Me

FIGURE 21

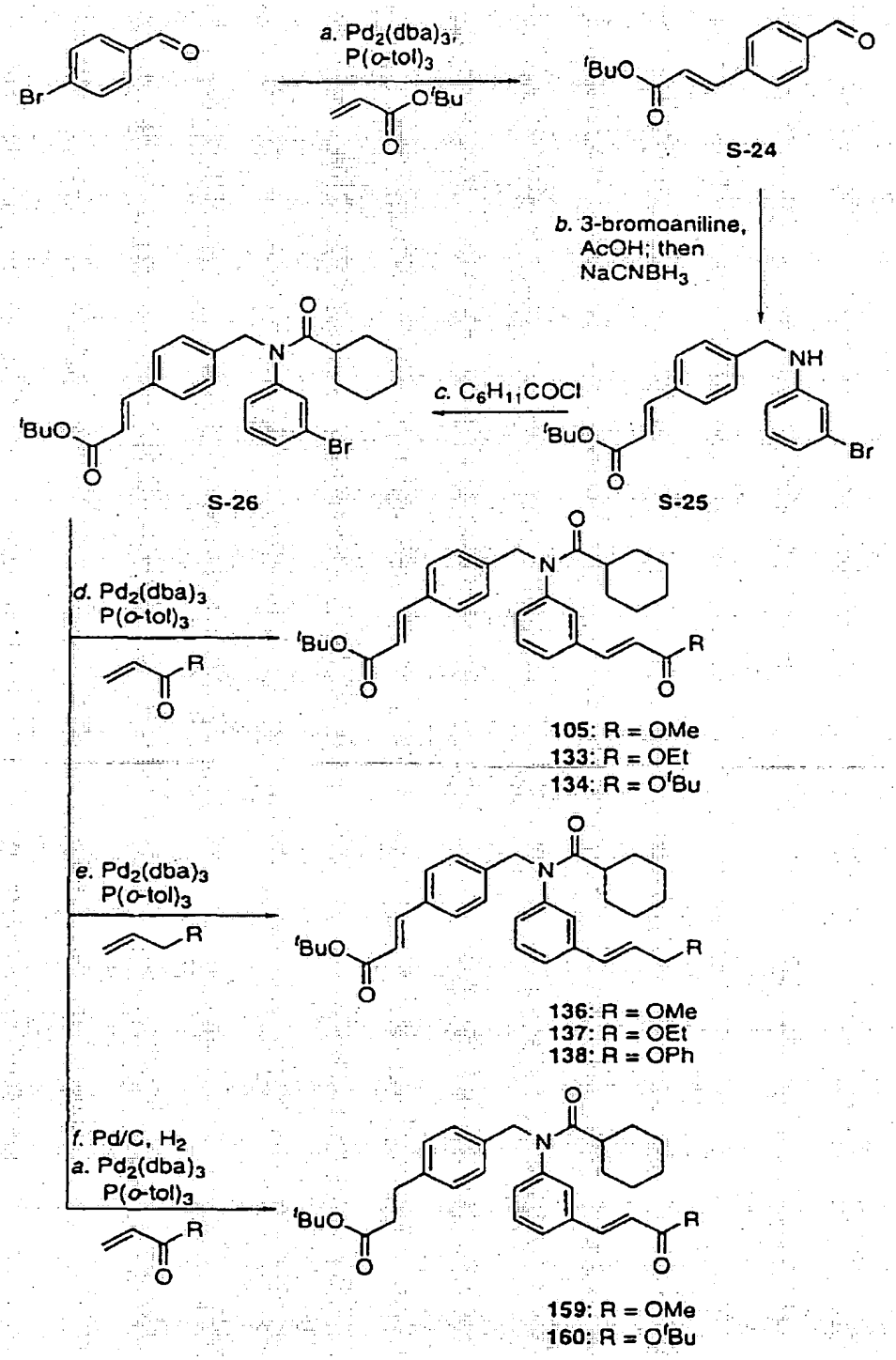

a. Pd2(dba)3, P(o-tol)3
b. 3-bromoaniline, AcOH; then NaCNBH3
c. C6H11COCl
S-24
S-25
S-26
d. Pd2(dba)3 P(o-tol)3
105: R = OMe
133: R = OEt
134: R = OtBu
e. Pd2(dba)3 P(o-tol)3
136: R = OMe
137: R = OEt
138: R = OPh
f. Pd/C, H2
a. Pd2(dba)3 P(o-tol)3
159: R = OMe
160: R = OtBu

FIGURE 22

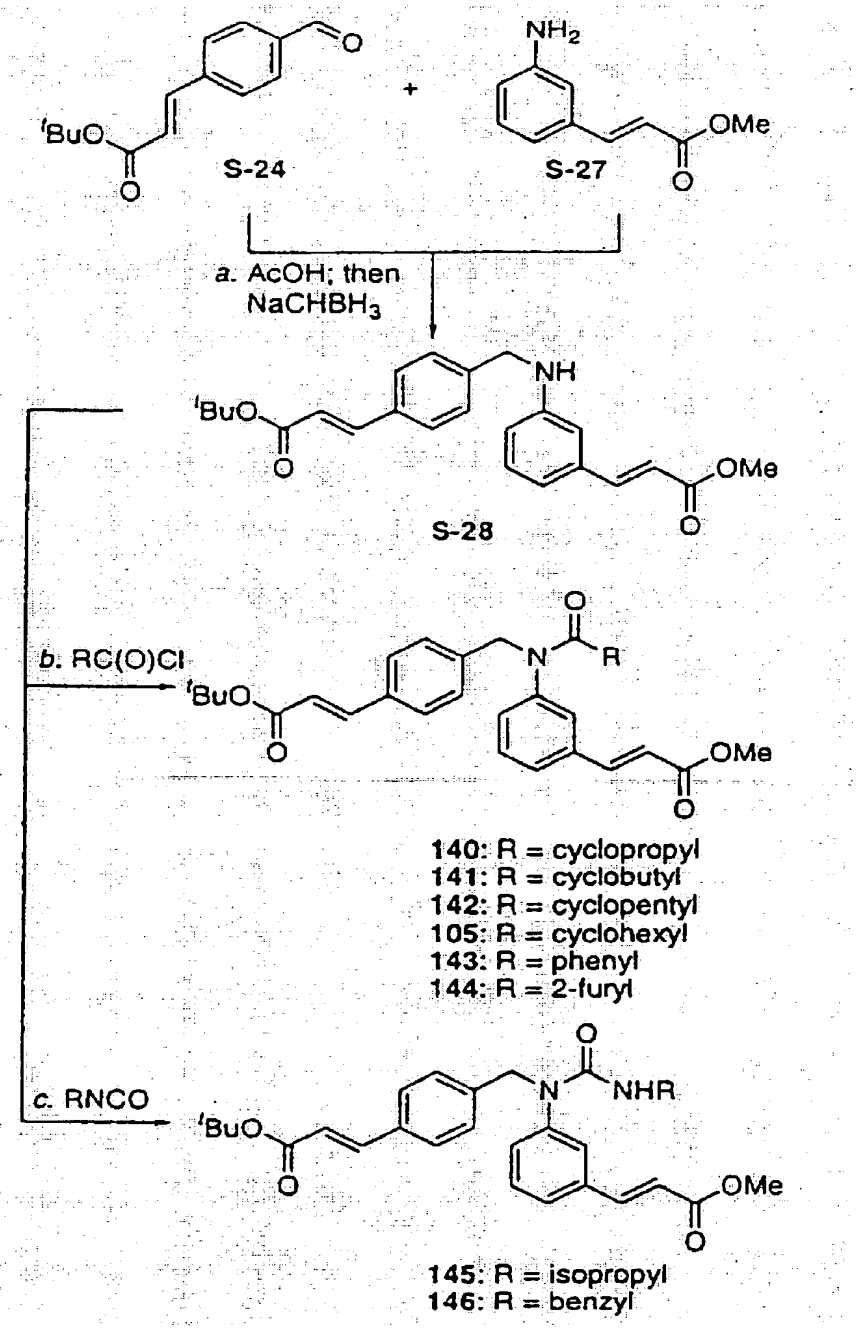

NH2
OMe
tBuO
S-24
S-27
a. AcOH; then NaCHBH3
NH
S-28
b. RC(O)Cl
N
R
140: R = cyclopropyl
141: R = cyclobutyl
142: R = cyclopentyl
105: R = cyclohexyl
143: R = phenyl
144: R = 2-furyl
c. RNCO
NHR
145: R = isopropyl
146: R = benzyl

FIGURE 23

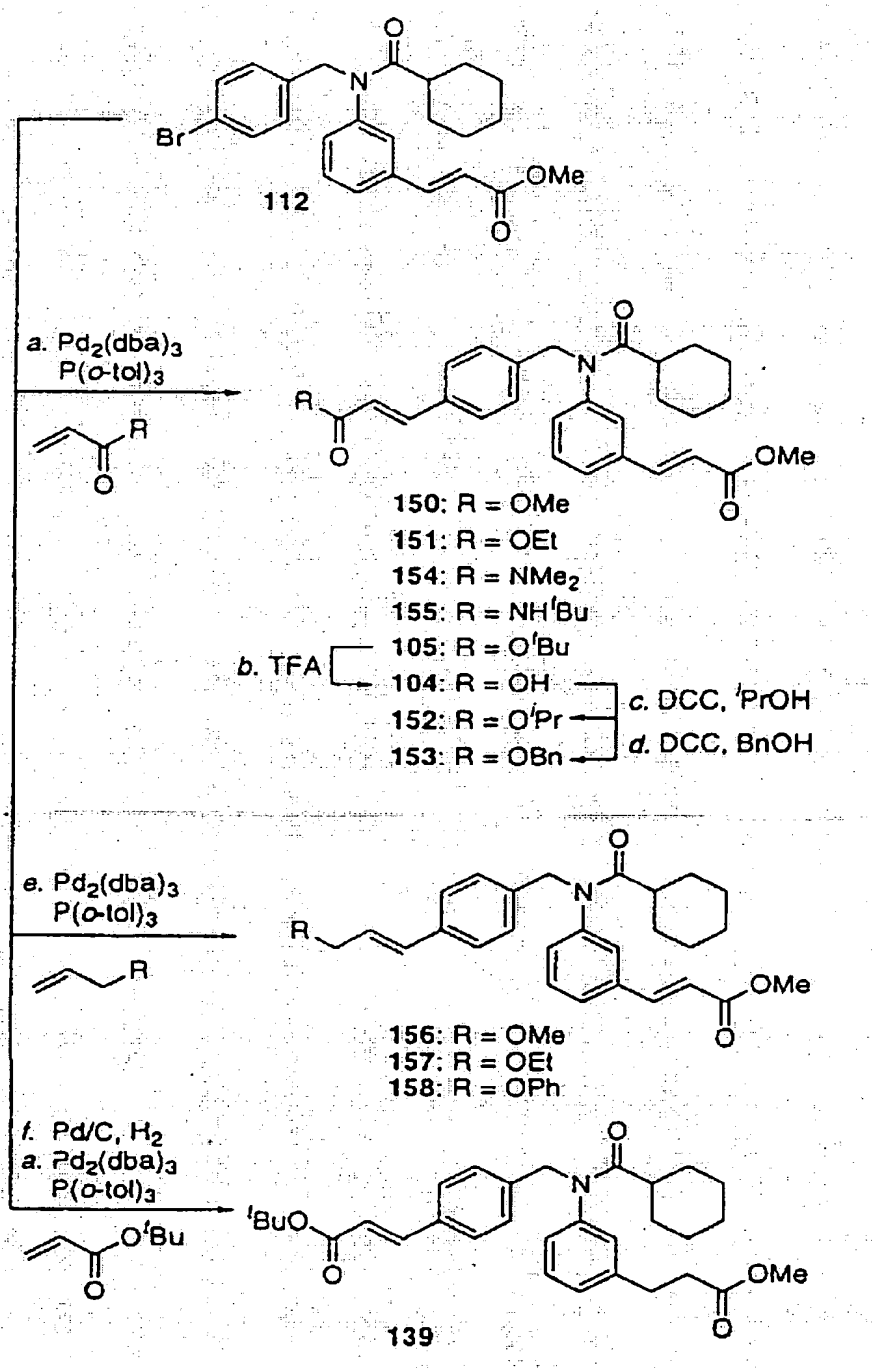

Br
112
OMe
a. Pd2(dba)3
P(o-tol)3
R
150: R = OMe
151: R = OEt
154: R = NMe2
155: R = NHtBu
105: R = OtBu
b. TFA
104: R = OH
152: R = OiPr
153: R = OBn
c. DCC, iPrOH
d. DCC, BnOH
e. Pd2(dba)3
P(o-tol)3
156: R = OMe
157: R = OEt
158: R = OPh
f. Pd/C, H2
a. Pd2(dba)3
P(o-tol)3
tBuO
OtBu
139

FIGURE 24

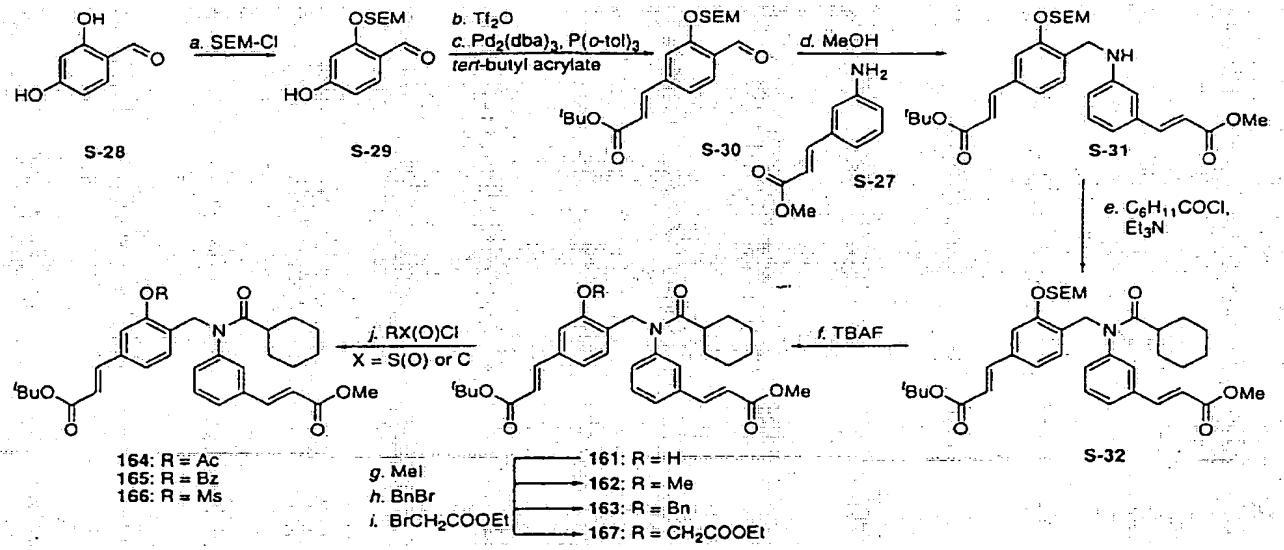

a. SEM-Cl
b. Tf2O
c. Pd2(dba)3, P(o-tol)3
tert-butyl acrylate
d. MeOH
e. C6H11COCl, Et3N
f. TBAF
g. MeI
h. BnBr
i. BrCH2COOEt
j. RX(O)Cl
X = S(O) or C
S-28
S-29
S-30
S-27
S-31
S-32
164: R = Ac
165: R = Bz
166: R = Ms
161: R = H
162: R = Me
163: R = Bn
167: R = CH2COOEt

FIGURE 25

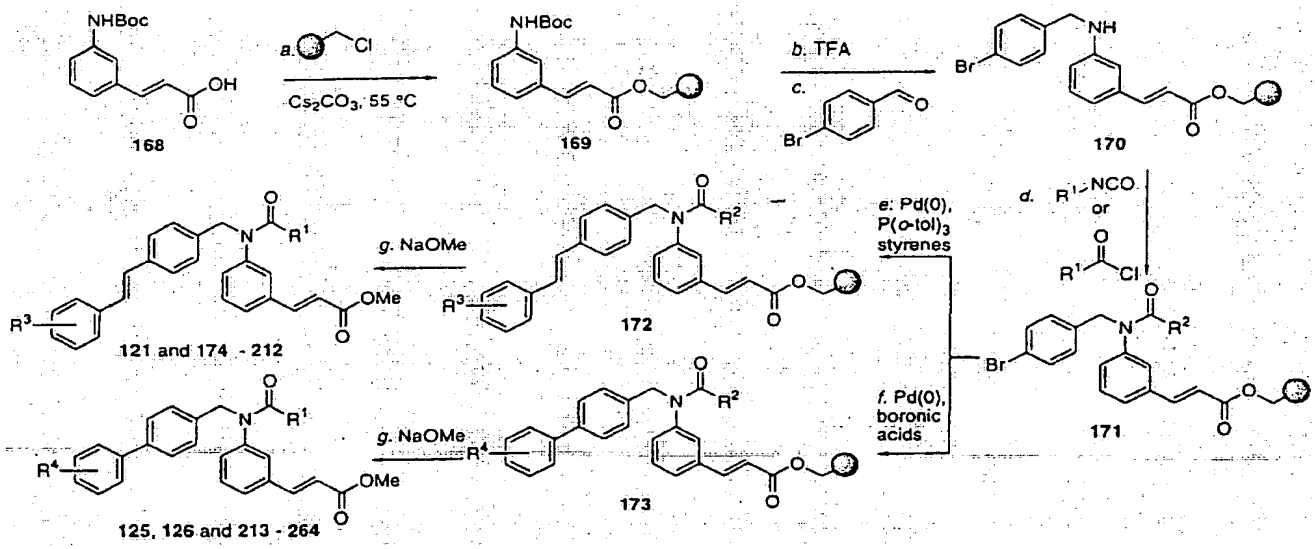

NHBoc
OH
168
a.
Cl
Cs_2CO_3, 55 °C
NHBoc
169
b. TFA
c.
Br
NH
Br
170
d. R^1NCO or
R^1 Cl
e: Pd(0), P(o-tol)$_3$ styrenes
f. Pd(0), boronic acids
Br
171
g. NaOMe
R^3
OMe
121 and 174 - 212
172
g. NaOMe
R^4
OMe
125, 126 and 213 - 264
173

FIGURE 26

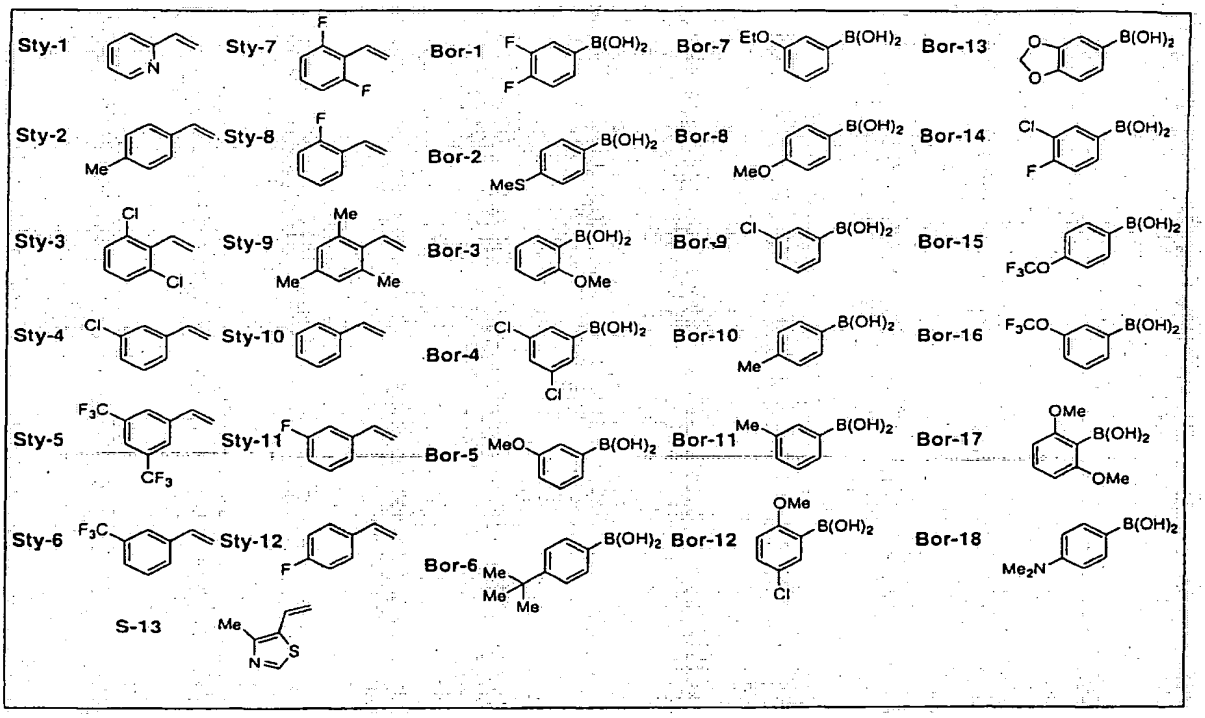
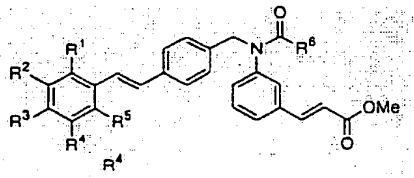
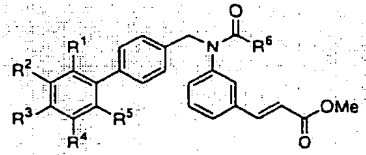
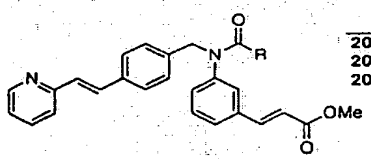

Sty-1
Sty-7
Bor-1
Bor-7
Bor-13
Sty-2
Sty-8
Bor-2
Bor-8
Bor-14
Sty-3
Sty-9
Bor-3
Bor-9
Bor-15
Sty-4
Sty-10
Bor-4
Bor-10
Bor-16
Sty-5
Sty-11
Bor-5
Bor-11
Bor-17
Sty-6
Sty-12
Bor-6
Bor-12
Bor-18
S-13
B(OH)2

FIGURE 27

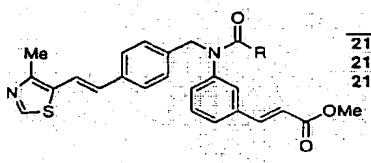




	R^1	R^2	R^3	R^4	R^5	R^6	EC_{50} (nM)	RE[a]
174	H	H	Me	H	H	$-C_6H_{11}$	342	0.83
175	H	H	Me	H	H	$-CH(CH_3)_2$	1410	0.37
176	H	H	Me	H	H	$-NHCH(CH_3)_2$	3570	0.10
177	Cl	H	H	H	Cl	$-C_6H_{11}$	150	0.12
178	Cl	H	H	H	Cl	$-CH(CH_3)_2$	195	0.14
179	Cl	H	H	H	Cl	$-NHCH(CH_3)_2$	216	0.15
180	H	Cl	H	H	H	$-C_6H_{11}$	165	1.41
181	H	Cl	H	H	H	$-CH(CH_3)_2$	164	1.09
182	H	Cl	H	H	H	$-NHCH(CH_3)_2$	339	0.59
183	H	CF_3	H	CF_3	H	$-C_6H_{11}$	1470	0.15
184	H	CF_3	H	CF_3	H	$-CH(CH_3)_2$	1950	0.13
185	H	CF_3	H	CF_3	H	$-NHCH(CH_3)_2$	1830	0.13
186	H	CF_3	H	H	H	$-C_6H_{11}$	937	0.35
187	H	CF_3	H	H	H	$-CH(CH_3)_2$	267	0.70
188	H	CF_3	H	H	H	$-NHCH(CH_3)_2$	932	0.31
189	F	H	H	H	F	$-C_6H_{11}$	174	0.94
190	F	H	H	H	F	$-CH(CH_3)_2$	108	0.79
191	F	H	H	H	F	$-NHCH(CH_3)_2$	4020	0.21
192	F	H	H	H	H	$-C_6H_{11}$	64	1.41
193	F	H	H	H	H	$-CH(CH_3)_2$	70	1.17
194	F	H	H	H	H	$-NHCH(CH_3)_2$	431	0.69
195	Me	H	Me	H	Me	$-C_6H_{11}$	518	0.24
196	Me	H	Me	H	Me	$-CH(CH_3)_2$	149	0.30
197	Me	H	Me	H	Me	$-NHCH(CH_3)_2$	431	0.14
121	H	H	H	H	H	$-C_6H_{11}$	36	1.55
198	H	H	H	H	H	$-CH(CH_3)_2$	65	1.33
200	H	H	H	H	H	$-NHCH(CH_3)_2$	119	1.38
201	H	F	H	H	H	$-C_6H_{11}$	86	1.36
202	H	F	H	H	H	$-CH(CH_3)_2$	71	1.33
203	H	F	H	H	H	$-NHCH(CH_3)_2$	467	0.61
204	H	H	F	H	H	$-C_6H_{11}$	185	0.53
205	H	H	F	H	H	$-CH(CH_3)_2$	120	1.19
206	H	H	F	H	H	$-NHCH(CH_3)_2$	348	0.91



	R	EC_{50} (nM)	RE[a]
207	$-C_6H_{11}$	309	0.81
208	$-CH(CH_3)_2$	310	0.62
209	$-NHCH(CH_3)_2$	575	0.66



	R	EC_{50} (nM)	RE[a]
210	$-C_6H_{11}$	227	0.53
211	$-CH(CH_3)_2$	228	0.32
212	$-NHCH(CH_3)_2$	366	0.42

	R^1	R^2	R^3	R^4	R^5	R^6	EC_{50} (nM)	RE[a]
213	H	F	F	H	H	$-C_6H_{11}$	72	1.70
214	H	F	F	H	H	$-CH(CH_3)_2$	249	1.15
215	H	F	F	H	H	$-NHCH(CH_3)_2$	8180	0.23
125	H	H	SMe	H	H	$-C_6H_{11}$	69	1.74
216	H	H	SMe	H	H	$-CH(CH_3)_2$	51	0.98
217	H	H	SMe	H	H	$-NHCH(CH_3)_2$	178	0.23
218	OMe	H	H	H	H	$-C_6H_{11}$	359	0.49
219	OMe	H	H	H	H	$-CH(CH_3)_2$	377	0.28
220	OMe	H	H	H	H	$-NHCH(CH_3)_2$	4010	0.09
126	H	Cl	H	Cl	H	$-C_6H_{11}$	284	0.95
221	H	Cl	H	Cl	H	$-CH(CH_3)_2$	661	0.54
222	H	Cl	H	Cl	H	$-NHCH(CH_3)_2$	>10000	0.10
223	H	OMe	H	H	H	$-C_6H_{11}$	101	1.51
224	H	OMe	H	H	H	$-CH(CH_3)_2$	72	1.26
225	H	OMe	H	H	H	$-NHCH(CH_3)_2$	1370	0.41
226	H	OEt	H	H	H	$-C_6H_{11}$	147	1.37
227	H	OEt	H	H	H	$-CH(CH_3)_2$	173	1.03
228	H	OEt	H	H	H	$-NHCH(CH_3)_2$	2350	0.33
229	H	H	OMe	H	H	$-C_6H_{11}$	89	1.71
230	H	H	OMe	H	H	$-CH(CH_3)_2$	97	1.21
231	H	H	OMe	H	H	$-NHCH(CH_3)_2$	144	1.16
232	H	Cl	H	H	H	$-C_6H_{11}$	94	1.56
233	H	Cl	H	H	H	$-CH(CH_3)_2$	77	1.52
234	H	Cl	H	H	H	$-NHCH(CH_3)_2$	1400	0.49
235	H	H	Me	H	H	$-C_6H_{11}$	26	1.38
236	H	H	Me	H	H	$-CH(CH_3)_2$	118	1.48
237	H	H	Me	H	H	$-NHCH(CH_3)_2$	449	0.80
238	H	Me	H	H	H	$-C_6H_{11}$	109	1.43
239	H	Me	H	H	H	$-CH(CH_3)_2$	163	1.09
240	H	Me	H	H	H	$-NHCH(CH_3)_2$	1330	0.53
241	OMe	H	H	Cl	H	$-C_6H_{11}$	233	1.16
242	OMe	H	H	Cl	H	$-CH(CH_3)_2$	226	0.79
243	OMe	H	H	Cl	H	$-NHCH(CH_3)_2$	3080	0.17
244	H	$-OCH_2O-$		H	H	$-C_6H_{11}$	38	1.90
245	H	$-OCH_2O-$		H	H	$-CH(CH_3)_2$	19	1.25
246	H	$-OCH_2O-$		H	H	$-NHCH(CH_3)_2$	96	1.51
247	H	Cl	F	H	H	$-C_6H_{11}$	66	1.87
248	H	Cl	F	H	H	$-CH(CH_3)_2$	129	1.64
249	H	Cl	F	H	H	$-NHCH(CH_3)_2$	3050	0.41
250	H	H	OCF_3	H	H	$-C_6H_{11}$	264	1.04
251	H	H	OCF_3	H	H	$-CH(CH_3)_2$	219	0.78
252	H	H	OCF_3	H	H	$-NHCH(CH_3)_2$	7530	0.21
253	H	OCF_3	H	H	H	$-C_6H_{11}$	420	0.84
254	H	OCF_3	H	H	H	$-CH(CH_3)_2$	247	0.69
255	H	OCF_3	H	H	H	$-NHCH(CH_3)_2$	>10000	0.09
256	OMe	H	H	H	OMe	$-C_6H_{11}$	77	0.12
257	OMe	H	H	H	OMe	$-CH(CH_3)_2$	95	0.10
258	OMe	H	H	H	OMe	$-NHCH(CH_3)_2$	561	0.10
259	H	H	NMe_2	H	H	$-C_6H_{11}$	25	1.72
260	H	H	NMe_2	H	H	$-CH(CH_3)_2$	57	1.07
261	H	H	NMe_2	H	H	$-NHCH(CH_3)_2$	162	1.01
262	H	H	*t*-Bu	H	H	$-C_6H_{11}$	132	1.38
263	H	H	*t*-Bu	H	H	$-CH(CH_3)_2$	343	0.59
264	H	H	*t*-Bu	H	H	$-NHCH(CH_3)_2$	262	1.02

FIGURE 28

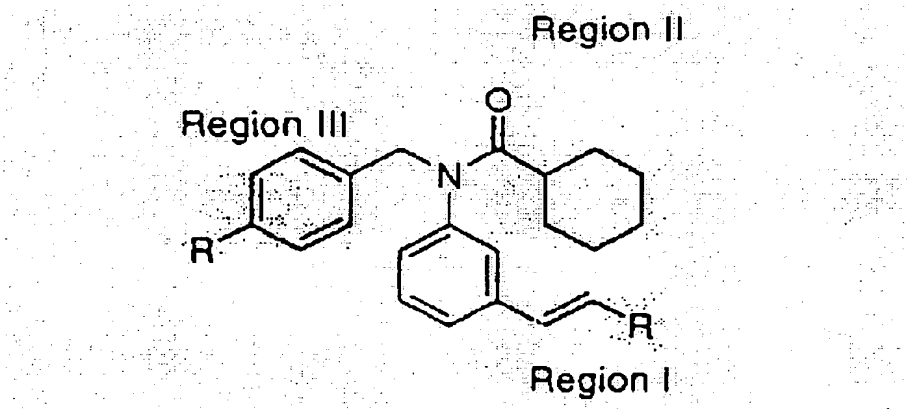


FIGURE 29

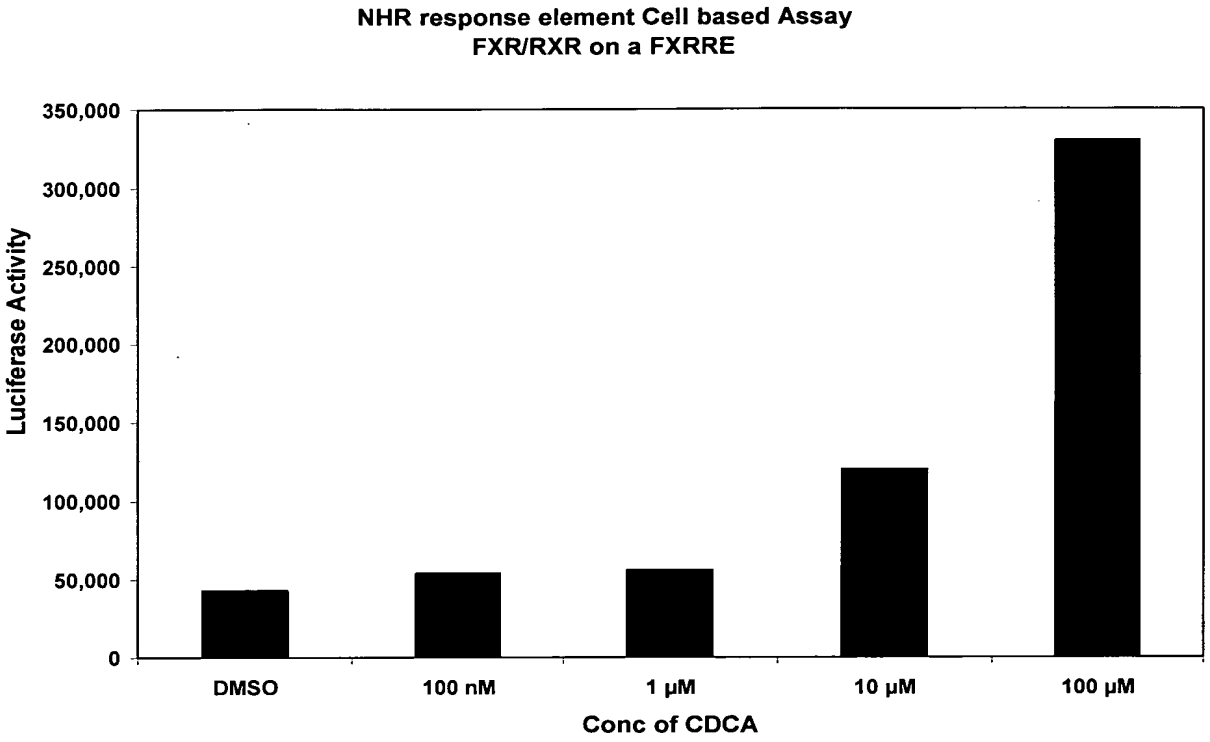

NHR response element Cell based Assay
FXR/RXR on a FXRRE
Luciferase Activity
350,000
300,000
250,000
200,000
150,000
100,000
50,000
0
DMSO
100 nM
1 µM
10 µM
100 µM
Conc of CDCA

FIGURE 30